

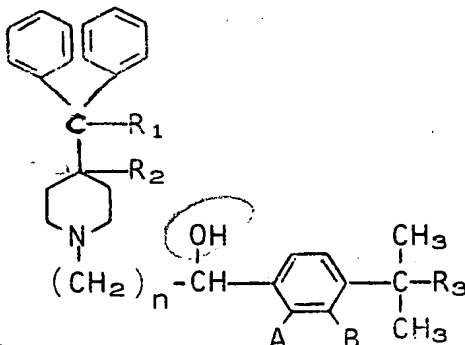
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CM We claim:

~~CLAIMS~~

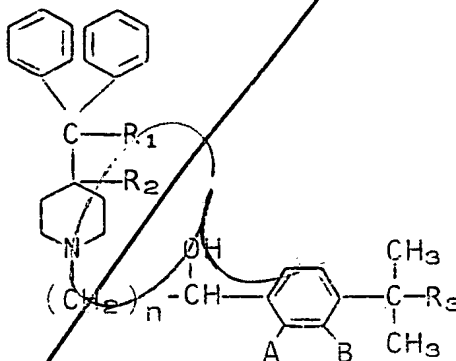
- 1 1. A compound of the formula

TC290X



- PS3 wherein R_1 represents hydrogen or hydroxy; R_2 represents
 4 hydrogen; or R_1 and R_2 taken together form a second bond
 5 between the carbon atoms bearing R_1 and R_2 ; n is
 6 an integer of from 1 to 5; R_3 is ~~CH_3 , CH_2OH ,~~
 7 ~~COOH or COOalkyl~~ wherein the alkyl moiety has from
 8 1 to 6 carbon atoms and is straight or branched; each of
 9 A and B is hydrogen or hydroxy; with the proviso that
 10 at least one of A or B is hydrogen and one of A or B is
 11 other than hydrogen when R_3 is ~~CH_3~~ ; and pharmaceutically
 12 acceptable salts and individual optical isomers thereof.

- 1 2. An essentially pure compound of the formula



- 3 wherein R_1 represents hydrogen or hydroxy; R_2 represents
 4 hydrogen; or R_1 and R_2 taken together form a second bond
 5 between the carbon atoms bearing R_1 and R_2 ; n is an

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6 integer of from 1 to 5; R_3 is $-CH_3$, $-CH_2OH$,
 7 $-COOH$ or $-COOalkyl$ wherein the alkyl moiety has from
 8 1 to 6 carbon atoms and is straight or branched; each of
 9 A and B is hydrogen or hydroxy; with the provisos that
 10 at least one of A or B is hydrogen and one of A or B is
 11 other than hydrogen when R_3 is $-CH_3$; and pharmaceutically
 12 acceptable salts and individual optical isomers thereof.

1 ~~2~~ 3. A compound of claim 1 wherein R_1 is hydrogen or
 2 R_1 and R_2 taken together form a second bond between the
 3 carbon atoms bearing R_1 and R_2 .

1 4. A compound of claim 2 wherein R_1 is hydroxy or
 2 R_1 and R_2 taken together form a second bond between the
 3 carbon atoms bearing R_1 and R_2 .

1 ~~3~~ 5. A compound of claim ~~3~~ ² or ~~4~~ wherein n is 3 or
 2 4.

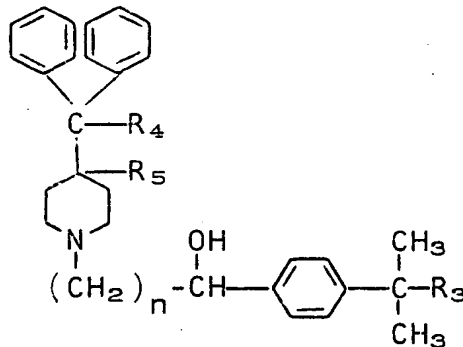
1 ~~4~~ 6. A compound of claim ~~3~~ ² or ~~4~~ wherein R_3 is $-COO-$
 2 alkyl.

1 ~~5~~ 7. A compound of claim ~~3~~ ² or ~~4~~ wherein R_3 is $-COOH$.

1 ~~6~~ 8. A compound of claim 1 or 2 of the formula

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TC310x
2



- PS 3 wherein R_4 is hydroxy and R_5 is hydrogen, or R_4 and R_5
4 taken together form a second bond between the carbon atoms
5 bearing R_4 and R_5 ; n is the integer 3; and R_3 is $-COOH$
6 or a pharmaceutically acceptable salt thereof. M

- Me
Me
1 9. A compound of claim 1 or 2 which is α, α -diphenyl-
2 1-(4-(4-hydroxy-tert-butyl)phenyl)-4-hydroxybutyl-4-
3 piperidinemethanol or a pharmaceutically acceptable salt
4 thereof.

- 8
8
a
1 10. A compound of claim 1 ~~or 2~~ which is ethyl 4-[4-
2 [4-(hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]
3 α, α -dimethylbenzene acetate or a pharmaceutically accept-
4 able salt thereof.

- 8
8
a
1 11. A compound of claim 1 ~~or 2~~ which is 4-[4-[4-
2 (hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]
3 α, α -dimethylbenzeneacetic acid or a pharmaceutically
4 acceptable salt thereof.

- Me
Me
1 12. A compound of claim 1 or 2 which is α, α -diphenyl-
2 1-(4-(4-tert-butyl-2-hydroxy)phenyl)-4-hydroxybutyl-4-
3 piperidinemethanol or a pharmaceutically acceptable salt
4 thereof.

- 8
1 13. A compound of claim 1 ~~or 2~~ which is 4-[4-[4-
2 [4-(hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]
3 α, α -dimethylbenzene acetate or a pharmaceutically accept-
4 able salt thereof.

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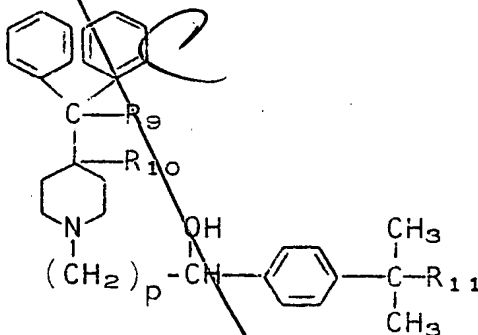
2 (hydroxydiphenylmethyl)-1-piperidinyll]-1-hydroxybutyl] 3
 3 α, α -dimethyl-(3-hydroxybenzene)acetic acid or a pharmaceu-
 4 tically acceptable salt thereof.

1 ¹⁰
¹⁴ 14. A pharmaceutical composition in unit dosage
 2 form comprising ^{an effective antiallergic amount of} a compound of claim 1 ~~or 2~~ and a signifi-
 3 cant amount of a pharmaceutically acceptable carrier.

1 ¹¹
¹⁵ 15. A method of treating allergic reactions in a
 2 patient in need thereof which comprises administering to
 3 said patient an effective amount of a compound of claim
 4 1 ~~or 2~~.

~~END~~

1 16. A process for preparing a compound of claim 1
 2 or 2 which comprises
 3 (a) when R_9 is $-\text{CH}_3$, $-\text{COOH}$ or $-\text{COOalkyl}$ and B is
 4 hydrogen, reducing the corresponding ketone and selectively
 4 followed by base hydrolysis as desired;
 5 (b) when R_9 is $-\text{CH}_2\text{OH}$ and B is hydrogen, reducing
 6 the corresponding ketone acid or ester or alcohol acid
 7 or ester;
 8 (c) when B is hydroxy, treating a derivative of
 9 the formula



11 wherein R_9 is hydrogen or trifluoroacetyloxy; R_{10} is
 12 hydrogen; or R_9 and R_{10} taken together form a second bond
 13 between the carbon atoms bearing R_9 and R_{10} ; p is an
 14 integer of from 1 to 5; and R_{11} is methyl or $-\text{COOalkyl}$

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15 wherein the alkyl moiety has from 1 to 6 carbon atoms
16 and is straight or branched; with a slight excess of
17 thallium trifluoroacetate in trifluoroacetic acid,
18 followed by 1 equivalent of lead tetraacetate in trifluoro-
19 acetic acid and 1 equivalent of triphenylphosphine, and
20 when R_3 is $-COOalkyl$ treating the thus formed compound
21 wherein R_3 is $-COOH$ with boron trifluoride etherate in
22 an alcoholic solvent; and
23 (d) when a pharmaceutically acceptable salt is
24 desired reacting the thus formed compound with a pharma-
25 ceutically acceptable acid or base.